

- 2 -

Int'l App. No.: PCT/EP99/05584
Int'l Filing Date: 03 August 1999

in which;

m is 1 or 2;

n is 1 or 2;

X is CH or N;

Y is selected from hydrogen, halogen, cyano, CF₃, alkyl or alkoxy;

R¹, which may be at any position within the saturated ring system, is hydrogen or up to two substituents which may be the same or different and each of which is selected from fluoro and C₁₋₆ alkyl;

R² is hydrogen or up to four substituents selected from halogen, NO₂, CN, N₃, CF₃O-, CF₃S-, CF₃CO-, C₁₋₆alkyl, C₁₋₆alkenyl, C₁₋₆alkynyl, C₁₋₆perfluoroalkyl, C₃₋₆cycloalkyl, C₃₋₆cycloalkyl-C₁₋₄alkyl-, C₁₋₆alkylo-, C₁₋₆alkylCO-, C₃₋₆cycloalkylO-, C₃₋₆cycloalkylCO-, C₃₋₆cycloalkyl-C₁₋₄alkylO-, C₃₋₆cycloalkyl-C₁₋₄alkylCO-, phenyl, phenoxy, benzyloxy, benzoyl, phenyl-C₁₋₄alkyl-, C₁₋₆alkylS-, C₁₋₆alkylSO₂-, (C₁₋₄alkyl)₂NSO₂-, (C₁₋₄alkyl)NHSO₂-, (C₁₋₄alkyl)₂NCO-, oxazoly-, (C₁₋₄alkyl)NHCO-, CONH₂;

or R⁴CONH- or -NR⁴R⁵

wherein R⁴ is hydrogen or C₁₋₄ alkyl, and;

R⁵ is hydrogen, C₁₋₄alkyl, formyl, -CO₂C₁₋₄alkyl or -COC₁₋₄alkyl;

or two R² groups are linked together form a carbocyclic or heterocyclic ring that is saturated or unsaturated and unsubstituted or substituted by -OH or =O;

or a pharmaceutically acceptable salt thereof.

2. (Amended) A compound of formula (I) according to claim 1 wherein:

R¹ is hydrogen, fluoro, methyl, ethyl or propyl; and

R² is hydrogen or one or more of methyl, ethyl, n-butyl, phenyl, iso-propyl, t-butyl, methoxy, ethoxy, n-propoxy, iso-propoxy, n-butoxy, phenoxy, benzyloxy, bromo, chloro, iodo, fluoro, nitro, cyano, acetyl, pivaloyl, iso-butyroyl, benzoyl, trifluoromethyl, trifluoromethoxy, trifluoroacetyl, amino, acetylamino, methylthio, oxazolo, methylsulfonyl, n-propylsulfonyl, isopropylsulfonyl or dimethylsulfamoyl.

- 3 -

Int'l App. No.: PCT/EP99/05584
Int'l Filing Date: 03 August 1999

3. (Amended) A compound of formula (I) according to claim 1 [or claim 2] wherein:

R¹ is hydrogen, and;

R² is hydrogen or one or more of ethyl, methoxy, trifluoromethyl, cyano, chloro, fluoro.

4. (Amended) A compound according to [any one of claims] claim 1 [to 3] selected from:

3-cyano-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-methoxybenzamide;

3-bromo-4-ethyl-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-benzamide;

N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-methoxy-3-trifluoromethylbenzamide;

3-bromo-4-ethoxy-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)benzamide;

3-bromo-4-ethoxy-N-(1,3,4,6,7,11b-hexahydro-2H-pyrido[2,1-a]isoquinolin-10-yl)benzamide;

N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-methoxy-3-propionylbenzamide;

N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-ethoxy-3-propionylbenzamide;

3-acetyl-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)benzamide;

3-acetyl-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-methoxybenzamide;

3-fluoro-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-methoxybenzamide;

3,5-dichloro-4-ethoxy-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)benzamide;

3-acetyl-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-propoxybenzamide;

3-butyryl-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-methoxybenzamide;

3-*iso*-butyryl-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-*isopropoxybenzamide*;

3-cyano-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-*isopropylbenzamide*;

4-oxo-chroman-6-carboxylic acid (1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)amide;

N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-isopropoxy-3-propionylbenzamide;

N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-3-isobutyryl-4-methoxybenzamide;

N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-ethoxy-3-isobutyrylbenzamide;
N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-ethoxy-3-fluorobenzamide;
N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-isopropoxy-3-fluorobenzamide;
3-cyano-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-isopropoxybenzamide;
3-cyano-4-ethoxy-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-benzamide;
N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-3-propionyl-4-
propoxymide;
3-acetyl-4-ethyl-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)benzamide;
3-acetyl-4-chloro-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)benzamide;
3-acetyl-4-bromo-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)benzamide;
3-acetyl-5-bromo-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)benzamide;
3-cyano-4-ethoxy-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)benzamide;
3-cyano-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-isopropoxybenzamide;
3-acetyl-4-acetamino-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-
yl)benzamide;
3-acetyl-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-isopropylbenzamide;
N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-3-propionyl-4-
isopropoxymide;
3-acetyl-4-ethoxy-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)- benzamide;
3-cyano-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-methoxybenzamide;
N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-methoxy-3-
trifluoromethylbenzamide;
3-fluoro-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-methoxy-benzamide;
4-ethoxy-3-fluoro-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)benzamide;
3-butyryl-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-propoxymide;
3-butyryl-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-
isopropoxymide;
3-acetyl-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-propoxymide;
3-butyryl-4-ethoxy-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)benzamide;
3-*iso*-butyryl-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-
propoxymide;

- 4 -

Int'l App. No.: PCT/EP99/05584
Int'l Filing Date: 03 August 1999

This Page Blank (uspto)

- 5 -

Int'l App. No.: PCT/EP99/05584

Int'l Filing Date: 03 August 1999

3-acetyl-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-*iso*-propoxybenzamide;
3-chloro-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-*iso*-
propoxybenzamide;
3-cyano-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-*isopropyl*benzamide;
3-bromo-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-*iso*-
propoxybenzamide;
5-acetyl-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-2-methoxy-4-
isopropoxybenzamide;
N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-3-pivaloylbenzamide;
N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-2-methoxy-4-isopropyl-5-
trifluoromethylbenzamide;
naphthalene-2-carboxylic acid (1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)amide;
benzothiazole-5-carboxylic acid (1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-
yl)amide;
2,3-dihydrobenzofuran-5-carboxylic acid (1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-
9-yl)carboxamide;
3-acetyl-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-isopropoxybenzamide;
3-chloro-4-ethoxy-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)benzamide;
N-3-(N, N-dimethylcarboxamido)-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-
isopropoxybenzamide;
N-(6,6-dimethyl-1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-methoxy-3-
trifluoromethylbenzamide;
3-bromo-N-(6,6-dimethyl-1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-
ethoxybenzamide;
3-bromo-N-(6,6-dimethyl-1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-
*isopropoxy*benzamide;
3-cyano-N-(6,6-dimethyl-1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-
*isopropyl*benzamide;
3-acetyl-N-(6,6-dimethyl-1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-
*isopropoxy*benzamide;

- 6 -

Int'l App. No.: PCT/EP99/05584
Int'l Filing Date: 03 August 1999

N-(6,6-dimethyl-1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-3-fluoro-4-methoxybenzamide;
N-(6,6-dimethyl-1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-3-fluoro-4-ethoxybenzamide;
N-(6,6-dimethyl-1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-3-fluoro-4-isopropoxybenzamide;
3-cyano-N-(6,6-dimethyl-1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-methoxybenzamide;
3-acetyl-N-(6,6-dimethyl-1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-methoxybenzamide;
N-(6,6-dimethyl-1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-3-fluoro-4-methoxybenzamide;
N-(7-chloro-1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-methoxy-3-trifluoromethylbenzamide;
10b-methyl-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-methoxy-3-trifluoromethylbenzamide;
3-bromo-N-4-ethoxy(6-methyl-1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-isopropoxybenzamide;
N-(6-methyl-1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-methoxy-3-trifluoromethylbenzamide;
N-(5-methyl-1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-methoxy-3-trifluoromethylbenzamide;
3-acetyl-N-(5-methyl-1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-9-yl)-4-isopropoxybenzamide;
3-acetyl-N-(2,3,5,6,7,11b-hexahydro-1H-benzo[c]pyrrolo[1,2-a]azepin-10-yl)-4-isopropoxybenzamide;
N-(2,3,5,6,7,11b-hexahydro-1H-benzo[c]pyrrolo[1,2-a]azepin-10-yl)-4-methoxy-3-trifluoromethylbenzamide;
4-*tert*-butyl-2-methoxy-N-(1,2,3,5,6,10b-hexahydropyrrolo[2,1-a]isoquinolin-7-yl)benzamide;